

wherein R<sup>1</sup> and R<sup>2</sup> each represents ~~[a hydrogen atom or a]~~ an aliphatic hydrocarbon group ~~[which may be substituted]~~ or

R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted;

R<sup>3</sup> represents ~~[a hydrogen atom, a lower alkyl which may be substituted or]~~ an aromatic group which may be substituted;

R<sup>4</sup> represents (1) an aromatic group which may be substituted, (2) an aliphatic hydrocarbon group substituted by an aromatic group which may be substituted, which hydrocarbon group may be further substituted or (3) an acyl;

X and Y each represents an oxygen atom or a sulfur atom which may be oxidized;

--- represents a single bond or a double bond; and

ring A represents a benzene ring which may be further substituted apart from the group of the formula: -X-R<sup>4</sup> wherein each symbol is as defined above,

provided that when X and Y are oxygen atoms and --- is a single bond, R<sup>4</sup> is not an acyl, or a salt thereof.

2. (AMENDED) A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> each is

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(i) ~~a hydrogen atom or~~

(ii) a C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-6</sub> cycloalkyl or ~~[C<sub>6-14</sub> aryl group which may be substituted by 1 to 5 substituents selected from the group consisting of (1) halogen atoms, (2) C<sub>1-3</sub> alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C<sub>1-6</sub> alkyl, (6) optionally halogenated C<sub>2-6</sub> alkenyl, (7) optionally halogenated C<sub>2-6</sub> alkynyl, (8) optionally halogenated C<sub>3-6</sub> cycloalkyl, (9) C<sub>6-14</sub> aryl, (10) optionally halogenated C<sub>1-6</sub> alkoxy, (11) optionally halogenated C<sub>1-6</sub> alkylthio, (12) hydroxy, (13) amino, (14) mono-C<sub>1-6</sub> alkylamino, (15) mono-C<sub>6-14</sub> arylamino, (16) di-C<sub>1-6</sub> alkylamino, (17) di-C<sub>6-14</sub> arylamino, (18) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl carbonyl, C<sub>3-6</sub> cycloalkyl carbonyl, C<sub>1-6</sub> alkoxy carbonyl, C<sub>6-14</sub> aryl carbonyl, C<sub>7-16</sub> aralkyl carbonyl, C<sub>6-14</sub> aryloxy carbonyl, C<sub>7-16</sub> aralkyloxy carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl carbamoyl, di-C<sub>1-6</sub> alkyl carbamoyl, C<sub>6-14</sub> aryl carbamoyl, 5- or 6-~~

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~~membered heterocycle carbamoyl, C<sub>1-6</sub>-alkylsulfonyl, C<sub>6-14</sub>-arylsulfonyl, C<sub>1-6</sub>-alkylsulfinyl and C<sub>6-14</sub>-arylsulfinyl, (19) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub>-alkyl-carboxamido, C<sub>6-14</sub>-aryl-carboxamido, C<sub>1-6</sub>-alkoxy-carboxamido, C<sub>1-6</sub>-alkylsulfonylamino and C<sub>6-14</sub>-arylsulfonylamino, (20) acyloxy selected from the group consisting of C<sub>1-6</sub>-alkyl-carbonyloxy, C<sub>6-14</sub>-aryl-carbonyloxy, C<sub>1-6</sub>-alkoxy-carbonyloxy, mono-C<sub>1-6</sub>-alkyl-carbamoyloxy, di-C<sub>1-6</sub>-alkyl-carbamoyloxy, C<sub>6-14</sub>-aryl-carbamoyloxy and nicotinoyloxy, (21) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub>-alkyl, C<sub>6-14</sub>-aryl and 5- to 10-membered aromatic heterocyclic group, (22) 5- to 10-membered aromatic heterocyclic group and (23) sulfo, or]~~

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R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a C<sub>3-8</sub> cycloalkane or a 3- to 8-membered heterocyclic ring, each of which may be substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub>-alkyl, C<sub>6-14</sub>-aryl, C<sub>7-16</sub>-aralkyl, amino, mono-C<sub>1-6</sub>-alkylamino, mono-C<sub>6-14</sub>-arylamino, di-C<sub>1-6</sub>-alkylamino, di-C<sub>6-14</sub>-arylamino and 5- to 10-membered aromatic heterocyclic group;

R<sup>3</sup> is [(i) a hydrogen atom;

(ii) a C<sub>1-6</sub>-alkyl which may be substituted by 1 to 5 substituents selected from the group consisting of (1) halogen atoms, (2) C<sub>1-3</sub>-alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated C<sub>1-6</sub>-alkyl, (6) optionally halogenated C<sub>2-6</sub>-alkenyl, (7) optionally halogenated C<sub>2-6</sub>-alkynyl, (8) optionally halogenated C<sub>3-6</sub>-cycloalkyl, (9) C<sub>6-14</sub>-aryl, (10) optionally halogenated C<sub>1-6</sub>-alkoxy, (11) optionally halogenated C<sub>1-6</sub>-alkylthio, (12) hydroxy, (13) amino, (14) mono-C<sub>1-6</sub>-alkylamino, (15) mono-C<sub>6-14</sub>-arylamino, (16) di-C<sub>1-6</sub>-alkylamino, (17) di-C<sub>6-14</sub>-arylamino, (18) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub>-alkyl-carbonyl, C<sub>3-6</sub>-cycloalkyl-carbonyl, C<sub>1-6</sub>-alkoxy-carbonyl, C<sub>6-14</sub>-aryl-carbonyl, C<sub>7-16</sub>-aralkyl-carbonyl, C<sub>6-14</sub>-aryloxy-carbonyl, C<sub>7-16</sub>-aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub>-alkyl-carbamoyl, di-C<sub>1-6</sub>-alkyl-carbamoyl, C<sub>6-14</sub>-aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub>-alkylsulfonyl, C<sub>6-14</sub>-arylsulfonyl, C<sub>1-6</sub>-alkylsulfinyl and C<sub>6-14</sub>-arylsulfinyl, (19) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub>-alkyl-carboxamido, C<sub>6-14</sub>-aryl-carboxamido, C<sub>1-6</sub>-alkoxy-carboxamido, C<sub>1-6</sub>-alkylsulfonylamino and C<sub>6-14</sub>-arylsulfonylamino, (20) acyloxy selected from the group consisting of C<sub>1-6</sub>-alkyl-carbonyloxy, C<sub>6-14</sub>-aryl-carbonyloxy, C<sub>1-6</sub>-alkoxy-carbonyloxy, mono-C<sub>1-6</sub>-alkyl-carbamoyloxy, di-C<sub>1-6</sub>-alkyl-carbamoyloxy, C<sub>6-14</sub>-aryl-carbamoyloxy and nicotinoyloxy, (21) 5- to 7-membered saturated cyclic amino which may

~~be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group, (22) 5- to 10-membered aromatic heterocyclic group and (23) sulfo, or~~

~~(iii)] a  $C_{6-14}$  aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2)  $C_{1-3}$  alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated  $C_{1-6}$  alkyl, (6) optionally halogenated  $C_{2-6}$  alkenyl, (7) optionally halogenated  $C_{2-6}$  alkynyl, (8) optionally halogenated  $C_{3-6}$  cycloalkyl, (9) optionally halogenated  $C_{1-6}$  alkoxy, (10) optionally halogenated  $C_{1-6}$  alkylthio, (11) hydroxy, (12) amino, (13) mono- $C_{1-6}$  alkylamino, (14) di- $C_{1-6}$  alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{7-16}$  aralkyl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{7-16}$  aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- $C_{1-6}$  alkyl-carbamoyl, di- $C_{1-6}$  alkyl-carbamoyl,  $C_{6-14}$  aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl,  $C_{1-6}$  alkylsulfonyl,  $C_{6-14}$  arylsulfonyl,  $C_{1-6}$  alkylsulfinyl and  $C_{6-14}$  arylsulfinyl, (17) acylamino selected from the group consisting of formylamino,  $C_{1-6}$  alkyl-carboxamido,  $C_{6-14}$  aryl-carboxamido,  $C_{1-6}$  alkoxy-carboxamido,  $C_{1-6}$  alkylsulfonylamino and  $C_{6-14}$  arylsulfonylamino, (18) acyloxy selected from the group consisting of  $C_{1-6}$  alkyl-carbonyloxy,  $C_{6-14}$  aryl-carbonyloxy,  $C_{1-6}$  alkoxy-carbonyloxy, mono- $C_{1-6}$  alkyl-carbamoyloxy, di- $C_{1-6}$  alkyl-carbamoyloxy,  $C_{6-14}$  aryl-carbamoyloxy and nicotinoyloxy, (19) sulfo, (20)  $C_{6-14}$  aryl and (21)  $C_{6-14}$  aryloxy;~~

$R^4$  is (i) a  $C_{6-14}$  aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2)  $C_{1-3}$  alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated  $C_{1-6}$  alkyl, (6) optionally halogenated  $C_{2-6}$  alkenyl, (7) optionally halogenated  $C_{2-6}$  alkynyl, (8) optionally halogenated  $C_{3-6}$  cycloalkyl, (9) optionally halogenated  $C_{1-6}$  alkoxy, (10) optionally halogenated  $C_{1-6}$  alkylthio, (11) hydroxy, (12) amino, (13) mono- $C_{1-6}$  alkylamino, (14) di- $C_{1-6}$  alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl,

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which hydrocarbon group may be further substituted by 1 to 5 substituents selected from the group consisting of (1) halogen atoms, (2) C<sub>1-3</sub> alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C<sub>1-6</sub> alkyl, (6) optionally halogenated C<sub>2-6</sub> alkenyl, (7) optionally halogenated C<sub>2-6</sub> alkynyl, (8) optionally halogenated C<sub>3-6</sub> cycloalkyl, (9) C<sub>6-14</sub> aryl, (10) optionally halogenated C<sub>1-6</sub> alkoxy, (11) optionally halogenated C<sub>1-6</sub> alkylthio, (12) hydroxy, (13) amino, (14) mono-C<sub>1-6</sub> alkylamino, (15) mono-C<sub>6-14</sub> arylamino, (16) di-C<sub>1-6</sub> alkylamino, (17) di-C<sub>6-14</sub> arylamino, (18) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl, (19) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino, (20) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-carbamoyloxy and nicotinoyloxy, (21) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group, (22) 5- to 10-membered aromatic heterocyclic group and (23) sulfo, or

(iii) an acyl of the formula:  $-(C=O)-R^5$ ,  $-(C=O)-OR^5$ ,  $-(C=O)-NR^5R^6$ ,  $-(C=S)-NHR^5$ ,  $-SO_2-R^{5a}$  or  $-SO-R^{5a}$

wherein R<sup>5</sup> is (a) a hydrogen atom,

(b) a C<sub>6-14</sub> aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C<sub>1-3</sub> alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C<sub>1-6</sub> alkyl, (6) optionally halogenated C<sub>2-6</sub> alkenyl, (7) optionally halogenated C<sub>2-6</sub> alkynyl, (8) optionally halogenated C<sub>3-6</sub> cycloalkyl, (9) optionally halogenated C<sub>1-6</sub> alkoxy, (10) optionally halogenated C<sub>1-6</sub> alkylthio, (11) hydroxy, (12) amino, (13) mono-C<sub>1-6</sub> alkylamino, (14) di-C<sub>1-6</sub> alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub>

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optionally halogenated  $C_{1-6}$  alkylthio, (12) hydroxy, (13) amino, (14) mono- $C_{1-6}$  alkylamino, (15) di- $C_{1-6}$  alkylamino, (16) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group, (17) acyl selected from the group consisting of formyl, carboxy, carbamoyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{7-16}$  aralkyl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{7-16}$  aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- $C_{1-6}$  alkyl-carbamoyl, di- $C_{1-6}$  alkyl-carbamoyl,  $C_{6-14}$  aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl,  $C_{1-6}$  alkylsulfonyl,  $C_{6-14}$  arylsulfonyl,  $C_{1-6}$  alkylsulfinyl and  $C_{6-14}$  arylsulfinyl, (18) acylamino selected from the group consisting of formylamino,  $C_{1-6}$  alkyl-carboxamido,  $C_{6-14}$  aryl-carboxamido,  $C_{1-6}$  alkoxy-carboxamido,  $C_{1-6}$  alkylsulfonylamino and  $C_{6-14}$  arylsulfonylamino, (19) acyloxy selected from the group consisting of  $C_{1-6}$  alkyl-carbonyloxy,  $C_{6-14}$  aryl-carbonyloxy,  $C_{1-6}$  alkoxy-carbonyloxy, mono- $C_{1-6}$  alkyl-carbamoyloxy, di- $C_{1-6}$  alkyl-carbamoyloxy,  $C_{6-14}$  aryl-carbamoyloxy and nicotinoyloxy and (20) sulfo;

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$R^{5a}$  is (a) a  $C_{6-14}$  aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2)  $C_{1-3}$  alkylenedioxy, (3) nitro, (4) cyano, (5) optionally halogenated  $C_{1-6}$  alkyl, (6) optionally halogenated  $C_{2-6}$  alkenyl, (7) optionally halogenated  $C_{2-6}$  alkynyl, (8) optionally halogenated  $C_{3-6}$  cycloalkyl, (9) optionally halogenated  $C_{1-6}$  alkoxy, (10) optionally halogenated  $C_{1-6}$  alkylthio, (11) hydroxy, (12) amino, (13) mono- $C_{1-6}$  alkylamino, (14) di- $C_{1-6}$  alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{7-16}$  aralkyl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{7-16}$  aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- $C_{1-6}$  alkyl-carbamoyl, di- $C_{1-6}$  alkyl-carbamoyl,  $C_{6-14}$  aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl,  $C_{1-6}$  alkylsulfonyl,  $C_{6-14}$  arylsulfonyl,  $C_{1-6}$  alkylsulfinyl and  $C_{6-14}$  arylsulfinyl, (17) acylamino selected from the group consisting of formylamino,  $C_{1-6}$  alkyl-carboxamido,  $C_{6-14}$  aryl-carboxamido,  $C_{1-6}$  alkoxy-carboxamido,  $C_{1-6}$  alkylsulfonylamino and  $C_{6-14}$  arylsulfonylamino, (18) acyloxy selected from the group consisting of  $C_{1-6}$  alkyl-carbonyloxy,  $C_{6-14}$  aryl-carbonyloxy,  $C_{1-6}$  alkoxy-carbonyloxy, mono- $C_{1-6}$  alkyl-

carbamoyloxy, di- $C_{1-6}$  alkyl-carbamoyloxy,  $C_{6-14}$  aryl-carbamoyloxy and nicotinoyloxy, (19) sulfo, (20)  $C_{6-14}$  aryl and (21)  $C_{6-14}$  aryloxy, or

(b) a  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl or  $C_{3-6}$  cycloalkyl group which may be substituted by 1 to 5 substituents selected from the group consisting of (1) a  $C_{6-14}$  aryl or 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1') halogen atoms, (2')  $C_{1-3}$  alkylenedioxy, (3') nitro, (4') cyano, (5') optionally halogenated  $C_{1-6}$  alkyl, (6') optionally halogenated  $C_{2-6}$  alkenyl, (7') optionally halogenated  $C_{2-6}$  alkynyl, (8') optionally halogenated  $C_{3-6}$  cycloalkyl, (9') optionally halogenated  $C_{1-6}$  alkoxy, (10') optionally halogenated  $C_{1-6}$  alkylthio, (11') hydroxy, (12') amino, (13') mono- $C_{1-6}$  alkylamino, (14') di- $C_{1-6}$  alkylamino, (15') 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group, (16') acyl selected from the group consisting of formyl, carboxy, carbamoyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{7-16}$  aralkyl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{7-16}$  aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- $C_{1-6}$  alkyl-carbamoyl, di- $C_{1-6}$  alkyl-carbamoyl,  $C_{6-14}$  aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl,  $C_{1-6}$  alkylsulfonyl,  $C_{6-14}$  arylsulfonyl,  $C_{1-6}$  alkylsulfinyl and  $C_{6-14}$  arylsulfinyl, (17') acylamino selected from the group consisting of formylamino,  $C_{1-6}$  alkyl-carboxamido,  $C_{6-14}$  aryl-carboxamido,  $C_{1-6}$  alkoxy-carboxamido,  $C_{1-6}$  alkylsulfonylamino and  $C_{6-14}$  arylsulfonylamino, (18') acyloxy selected from the group consisting of  $C_{1-6}$  alkyl-carbonyloxy,  $C_{6-14}$  aryl-carbonyloxy,  $C_{1-6}$  alkoxy-carbonyloxy, mono- $C_{1-6}$  alkyl-carbamoyloxy, di- $C_{1-6}$  alkyl-carbamoyloxy,  $C_{6-14}$  aryl-carbamoyloxy and nicotinoyloxy, (19') sulfo, (20')  $C_{6-14}$  aryl and (21')  $C_{6-14}$  aryloxy, (2) halogen atoms, (3)  $C_{1-3}$  alkylenedioxy, (4) nitro, (5) cyano, (6) optionally halogenated  $C_{1-6}$  alkyl, (7) optionally halogenated  $C_{2-6}$  alkenyl, (8) optionally halogenated  $C_{2-6}$  alkynyl, (9) optionally halogenated  $C_{3-6}$  cycloalkyl, (10) optionally halogenated  $C_{1-6}$  alkoxy, (11) optionally halogenated  $C_{1-6}$  alkylthio, (12) hydroxy, (13) amino, (14) mono- $C_{1-6}$  alkylamino, (15) di- $C_{1-6}$  alkylamino, (16) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group, (17) acyl selected from the group consisting of formyl, carboxy, carbamoyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{7-16}$  aralkyl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{7-16}$  aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- $C_{1-6}$  alkyl-carbamoyl, di- $C_{1-6}$  alkyl-carbamoyl,  $C_{6-14}$  aryl-



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carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl, (18) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino, (19) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-carbamoyloxy and nicotinoyloxy and (20) sulfo; and

R<sup>6</sup> is a hydrogen atom or a C<sub>1-6</sub> alkyl; and

ring A is a benzene ring which may be further substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C<sub>1-3</sub> alkylendioxy, (3) nitro, (4) cyano, (5) optionally halogenated C<sub>1-6</sub> alkyl, (6) optionally halogenated C<sub>2-6</sub> alkenyl, (7) optionally halogenated C<sub>2-6</sub> alkynyl, (8) optionally halogenated C<sub>3-6</sub> cycloalkyl, (9) optionally halogenated C<sub>1-6</sub> alkoxy, (10) optionally halogenated C<sub>1-6</sub> alkylthio, (11) hydroxy, (12) amino, (13) mono-C<sub>1-6</sub> alkylamino, (14) di-C<sub>1-6</sub> alkylamino, (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group, (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl, (17) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino, (18) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-carbamoyloxy and nicotinoyloxy, (19) sulfo, (20) C<sub>6-14</sub> aryl and (21) C<sub>6-14</sub> aryloxy.

3. (AMENDED) A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> each is a C<sub>1-6</sub> alkyl ~~which may be substituted,~~ or R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted.

C2  
Amended

0. (AMENDED) A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> each is a C<sub>1-6</sub> alkyl ~~which may be substituted by 1 to 3 substituents selected from the group consisting of (1) C<sub>6-14</sub>-aryl,~~

~~(2) C<sub>1-6</sub> alkoxy, (3) C<sub>1-6</sub> alkylthio, (4) hydroxy, (5) amino, (6) mono-C<sub>1-6</sub> alkylamino, (7) mono-C<sub>6-14</sub> arylamino, (8) di-C<sub>1-6</sub> alkylamino, (9) di-C<sub>6-14</sub> arylamino, (10) carboxy, (11) C<sub>1-6</sub> alkylsulfonyl, (12) C<sub>6-14</sub> arylsulfonyl, (13) C<sub>1-6</sub> alkylsulfinyl, (14) C<sub>6-14</sub> arylsulfinyl and (15) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic group;]~~ or

R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted by 1 to 3 substituents selected **[form] from** the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl, C<sub>7-16</sub> aralkyl and 5- to 10-membered aromatic heterocyclic group;

R<sup>3</sup> is a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C<sub>1-6</sub> alkyl, (3) C<sub>1-6</sub> alkoxy, (4) mono-C<sub>1-6</sub> alkylamino, (5) di-C<sub>1-6</sub> alkylamino and (6) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected **[form] from** the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic group; R<sup>4</sup> is (i) C<sub>1-6</sub> alkyl substituted by a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which may be substituted by 1 to 3 substituents selected from the group consisting of (1) halogen atoms, (2) C<sub>1-6</sub> alkyl, (3) C<sub>1-6</sub> alkoxy, (4) hydroxy, (5) amino, (6) mono-C<sub>1-6</sub> alkylamino, (7) di-C<sub>1-6</sub> alkylamino, (8) carboxy and (9) 5- to 7-membered saturated cyclic amino which may be substituted by 1 to 3 substituents selected **[form] from** the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic group, which C<sub>1-6</sub> alkyl may be further substituted by carboxy or C<sub>1-6</sub> alkoxy-carbonyl, or

(ii) a C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>6-14</sub> aryl-carbonyl or C<sub>7-16</sub> aralkyl-carbonyl group, each of which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, hydroxy, amino, mono-C<sub>1-6</sub> alkylamino, di-C<sub>1-6</sub> alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which may be further substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, optionally halogenated C<sub>1-6</sub> alkyl, optionally halogenated C<sub>1-6</sub> alkoxy, amino, mono-C<sub>1-6</sub> alkylamino and di-C<sub>1-6</sub> alkylamino.

11. (AMENDED) A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> each is a C<sub>1-6</sub> alkyl ~~[which may be substituted by 1 to 3 substituents selected from the group consisting of C<sub>6-14</sub> aryl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, hydroxy, amino, mono-C<sub>1-6</sub> alkylamino, mono-C<sub>6-14</sub> arylamino, di-C<sub>1-6</sub> alkylamino, di-C<sub>6-14</sub> arylamino, carboxy, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl]~~ or

R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a piperidine which may be substituted by 1 to 3 substituents selected ~~[form]~~ from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and C<sub>7-16</sub> aralkyl;

R<sup>3</sup> is a phenyl which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, amino, mono-C<sub>1-6</sub> alkylamino and di-C<sub>1-6</sub> alkylamino;

R<sup>4</sup> is (i) C<sub>1-6</sub> alkyl substituted by a phenyl or pyridyl, each of which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, hydroxy, amino, mono-C<sub>1-6</sub> alkylamino, di-C<sub>1-6</sub> alkylamino and carboxy, or

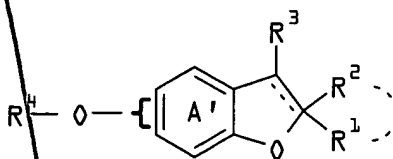
(ii) an acyl of the formula: -(C=O)-R<sup>5'</sup> wherein R<sup>5'</sup> is a phenyl or phenyl-C<sub>1-6</sub> alkyl, each of which may be substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, hydroxy, amino, mono-C<sub>1-6</sub> alkylamino, di-C<sub>1-6</sub> alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which may be further substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, optionally halogenated C<sub>1-6</sub> alkyl, optionally halogenated C<sub>1-6</sub> alkoxy, amino, mono-C<sub>1-6</sub> alkylamino and di-C<sub>1-6</sub> alkylamino.

12. (AMENDED) A compound of Claim 1 which is a compound of the formula:



wherein R<sup>1</sup> and R<sup>2</sup> each is C<sub>1-6</sub> alkyl ~~[which may be substituted by 6-membered saturated cyclic amino-substituted by a phenyl]~~ or

R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a piperidine substituted by a C<sub>1-6</sub> alkyl or a C<sub>7-16</sub> aralkyl;

C2  
C3  
C4  
Sub D3

$R^3$  is ~~(i) a hydrogen atom or~~

~~(ii)] a phenyl which may be substituted by 1 to 3 substituents selected from the group consisting of (1)  $C_{1-6}$  alkyl, (2) di- $C_{1-6}$  alkylamino and (3) 6-membered saturated cyclic amino which may be substituted by a  $C_{1-6}$  alkyl,~~

$R^4$  is (i) a phenyl which may be substituted by 1 to 3 substituents selected from the group consisting of nitro and  $C_{1-6}$  alkyl-carboxamido, (ii) a  $C_{1-6}$  alkyl or  $C_{2-6}$  alkenyl group substituted by 1 to 3 of phenyl, quinolyl or pyridyl, each of which may be substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkylthio,  $C_{1-6}$  alkoxy-carbonyl,  $C_{1-6}$  alkylsulfonyl and  $C_{1-6}$  alkylsulfinyl, which  $C_{1-6}$  alkyl or  $C_{2-6}$  alkenyl group may be further substituted by a phenyl, carboxy or  $C_{1-6}$  alkoxy-carbonyl, or

(iii) an acyl of the formula:  $-(C=O)-R^{5''}$

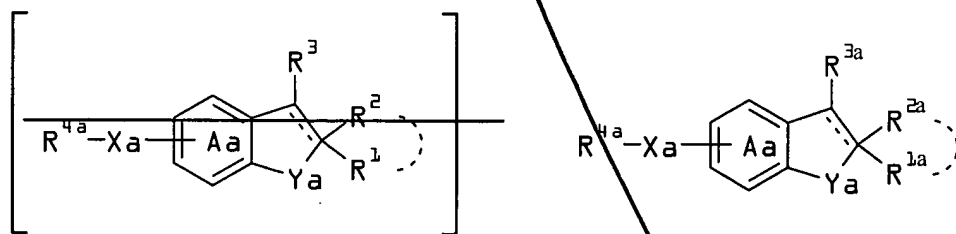
wherein  $R^{5''}$  is phenyl substituted by a  $C_{1-6}$  alkoxy; and

ring A' is a benzene ring which may be further substituted by 1 to 3  $C_{1-6}$  alkyl.

C3  
Sub D3  
C3  
C4  
Sub D3

15. (AMENDED) A pharmaceutical composition which comprises a compound of Claim 1, and a pharmaceutically acceptable carrier, excipient or diluent.

22. (AMENDED) A method for suppressing neurodegeneration in mammal, which comprises administering to said mammal an effective amount of a compound of the formula:



wherein  ~~$[R^1 \text{ and } R^2]$~~   $[R^{1a} \text{ and } R^{2a}]$  each represents a hydrogen atom or a hydrocarbon group which may be substituted, or

~~$[R^1 \text{ and } R^2]$~~   $[R^{1a} \text{ and } R^{2a}]$  form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted;

$[R^3]$   $R^{3a}$  represents a hydrogen atom, a lower alkyl which may be substituted or an aromatic group which may be substituted;

C4  
Contd  
Sub D3  
Contd

$R^{4a}$  represents an aromatic group which may be substituted, an aliphatic hydrocarbon group which may be substituted or an acyl;

Xa represents an oxygen atom or a sulfur atom which may be oxidized;

Ya represents an oxygen atom, a sulfur atom which may be oxidized or an imino which may be substituted;

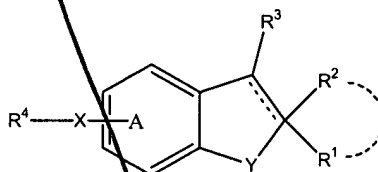
--- represents a single bond or a double bond;

ring Aa represents a benzene ring which may be further substituted apart from (i) the group of the formula:  $-Xa-R^{4a}$  wherein each symbol is as defined above, and (ii) an amino which may be substituted,

provided that when Xa and Ya are oxygen atoms and --- is a single bond,  $[R^4] R^{4a}$  is not an acyl, or a pharmaceutically acceptable salt thereof with a pharmaceutically acceptable excipient, carrier or diluent.

**Please add the following NEW Claims 25-29:**

25. (NEW) A method for suppressing neurodegeneration in a mammal, which comprises administering to said mammal an effective amount of a compound of the formula:



wherein  $R^1$  and  $R^2$  each represents an aliphatic hydrocarbon group or  $R^1$  and  $R^2$  form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic ring which may be substituted;

$R^3$  represents an aromatic group which may be substituted;

$R^4$  represents (1) an aromatic group which may be substituted, (2) an aliphatic hydrocarbon group substituted by an aromatic group which may be substituted, which hydrocarbon group may be further substituted or (3) an acyl;

X and Y each represents an oxygen atom or a sulfur atom which may be oxidized;

----- represents a single bond or a double bond;

and Ring A represents a benzene which may be further substituted apart from the group of the formula:  $-X-R^4$  wherein each symbol is as defined above.